10559823

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS 3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS 6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS 8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS 9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS 10	NOV 23	Addition of SCAN format to selected STN databases
NEWS 11	NOV 23	Annual Reload of IFI Databases
NEWS 12	DEC 01	FRFULL Content and Search Enhancements
NEWS 13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS 14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS 15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS 16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS 17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAplus
NEWS 18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS 19	JAN 25	Annual Reload of MEDLINE database

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:52:57 ON 03 FEB 2010

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 16:53:29 ON 03 FEB 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 FEB 2010 HIGHEST RN 1204474-62-3
DICTIONARY FILE UPDATES: 2 FEB 2010 HIGHEST RN 1204474-62-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

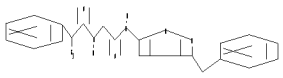
Please note that search-term pricing does apply when conducting SmartSELECT searches.

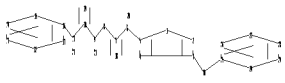
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10559823.str





chain nodes :
6 7 8 9 10 11 18 19 20 21 23 30
ring nodes :
1 2 3 4 5 12 13 14 15 16 17 24 25 26 27 28 29
chain bonds :
3-30 5-6 6-7 6-20 7-8 7-18 8-9 9-10 9-21 10-11 10-19 11-16 11-23 25-30

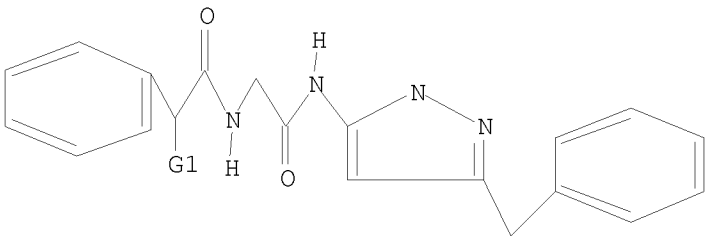
ring bonds :
1-2 1-5 2-3 3-4 4-5 12-13 12-17 13-14 14-15 15-16 16-17 24-25 24-29
25-26 26-27 27-28 28-29
exact/norm bonds :
1-2 1-5 2-3 5-6 6-7 7-18 8-9 9-10 10-19 11-23
exact bonds :
3-4 3-30 4-5 6-20 7-8 9-21 10-11 11-16 25-30
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17 24-25 24-29 25-26 26-27 27-28 28-29
isolated ring systems :
containing 1 : 12 : 24 :

G1:H,OH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS
19:CLASS 20:CLASS 21:CLASS 23:CLASS 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:Atom 30:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 H,OH

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 16:53:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 10 TO ITERATE

100.0% PROCESSED 10 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 11 TO 389
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:54:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 151 TO ITERATE

100.0% PROCESSED 151 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.01

L3 10 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 191.54 191.76

FILE 'HCAPLUS' ENTERED AT 16:54:06 ON 03 FEB 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 3 Feb 2010 VOL 152 ISS 6
FILE LAST UPDATED: 2 Feb 2010 (20100202/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l3
L4 1 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:99305 HCAPLUS
DOCUMENT NUMBER: 142:177127
TITLE: Preparation of acylated amino acid amidyl pyrazoles and related compounds
INVENTOR(S): Tung, Jay S.; Garofalo, Albert; Pleiss, Mike A.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Dressen, Darren; Guinn, Ashley C.; Jenkins, Scott A.; Latimer, Lee H.; Sealy, Jennifer
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009344	A2	20050203	WO 2004-US18202	20040604
WO 2005009344	A3	20051006		

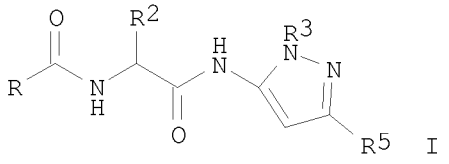
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004258841	A1	20050203	AU 2004-258841	20040604
AU 2004258841	B2	20091008		
CA 2528496	A1	20050203	CA 2004-2528496	20040604
EP 1633350	A2	20060315	EP 2004-776373	20040604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006526621	T	20061124	JP 2006-509087	20040604
JP 4220548	B2	20090204		
US 20070197624	A1	20070823	US 2007-559823	20070301

PRIORITY APPLN. INFO.: US 2003-476369P P 20030605
WO 2004-US18202 W 20040604

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:177127; MARPAT 142:177127
GI



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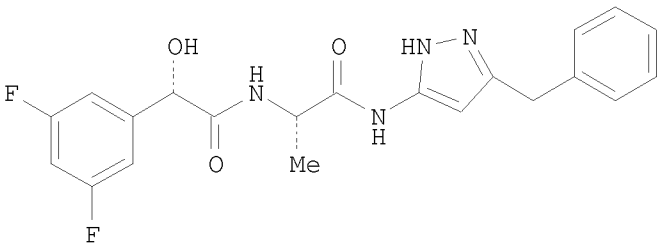


II

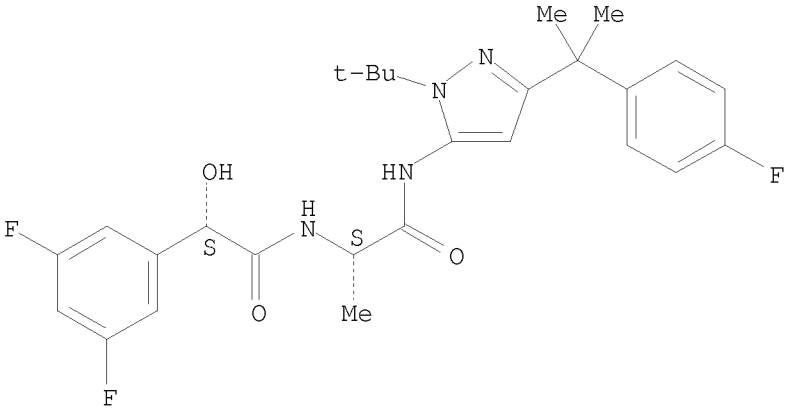
AB The invention relates to acylated amino acid amidyl pyrazoles and related compds. I [R is (un)substituted aryl, cycloalkyl, heterocyclyl, alkoxy, cycloalkoxy, aryloxy, heteroaryloxy, alkylamino, cycloaklylamino, arylamino, heteroarylamino or R1-Z-CX'X''-, where X', X'' are independently H, OH or F (provided that when one of X' and X'' is F, the other is not OH) or X'X'' is an oxo group, Z is alkyl, nitrogen, oxygen, sulfur or a bond and R1 is H, (un)substituted alkyl, alkenyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclyl; R2 is H, alkyl, alkylalkoxy, alkylthioalkoxy, CO2H or an ester; R3 is H, (un)substituted alkyl, cycloalkyl or phenyl; R5 is -Y-R6, where Y is (un)substituted alkyl, alkenyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic or a bond and R6 is (un)substituted aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aryl oxide, heteroaryl N-oxide or aryl sulfide (provided that when Y is a bond, then R6 is cycloalkyl or R2 is alkylalkoxy or alkylthioalkoxy)] or their pharmaceutically-acceptable salts, which are

useful in the prevention and treatment of Alzheimer's disease. The invention is further directed to a method for inhibiting β-amyloid peptide release and/or synthesis, for inhibiting γ-secretase activity, and for treating neurol. disorders associated with β-amyloid peptide production Thus, compound II was prepared was prepared by a multistep procedure starting from Boc-protected 4-phenyl-4-piperidinecarboxylic acid. The pyrazole ring was formed by reaction of a 4-(cyanoacetyl)-4-piperidine derivative with tert-BuNHNH2.HCl.

IT 834910-97-3P 834910-98-4P 834911-05-6P
834911-06-7P 834911-22-7P 834911-23-8P
834911-24-9P 834911-27-2P 834911-28-3P
834911-29-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylated amino acid amidyl pyrazoles and related compds.)

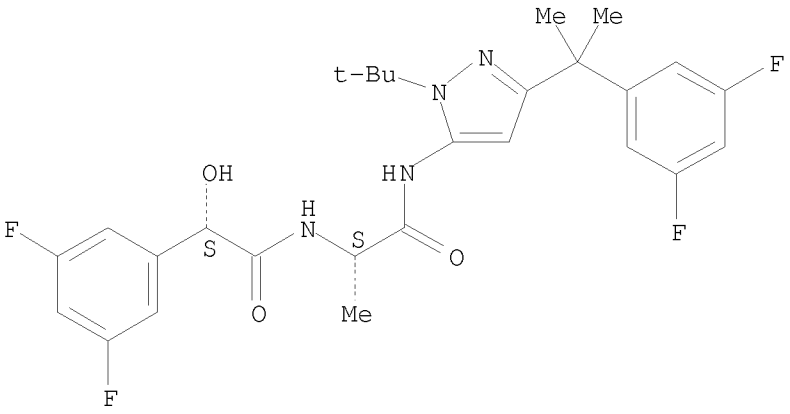
RN 834910-97-3 HCAPLUS
CN Benzeneacetamide, N-[(1S)-2-[[1-(1,1-dimethylethyl)-3-[1-(4-fluorophenyl)-1-methylethyl]-1H-pyrazol-5-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro-α-hydroxy-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



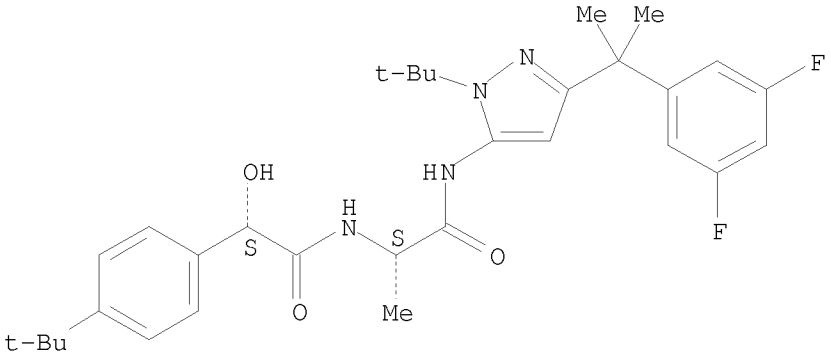
RN 834910-98-4 HCAPLUS
CN Benzeneacetamide, N-[(1S)-2-[[3-[1-(3,5-difluorophenyl)-1-methylethyl]-1-(1,1-dimethylethyl)-1H-pyrazol-5-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro-α-hydroxy-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



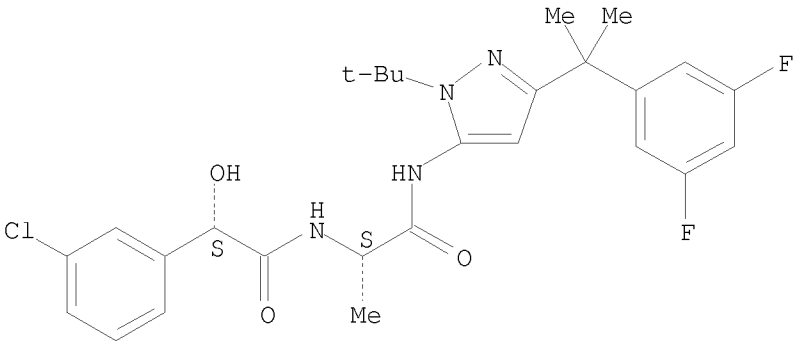
RN 834911-05-6 HCAPLUS
CN Benzeneacetamide, N-[(1S)-2-[[3-[1-(3,5-difluorophenyl)-1-methylethyl]-1-(1,1-dimethylethyl)-1H-pyrazol-5-yl]amino]-1-methyl-2-oxoethyl]-4-(1,1-dimethylethyl)-α-hydroxy-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 834911-06-7 HCAPLUS
CN Benzeneacetamide, 3-chloro-N-[(1S)-2-[[3-[1-(3,5-difluorophenyl)-1-methylethyl]-1-(1,1-dimethylethyl)-1H-pyrazol-5-yl]amino]-1-methyl-2-oxoethyl]-α-hydroxy-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 834911-22-7 HCAPLUS
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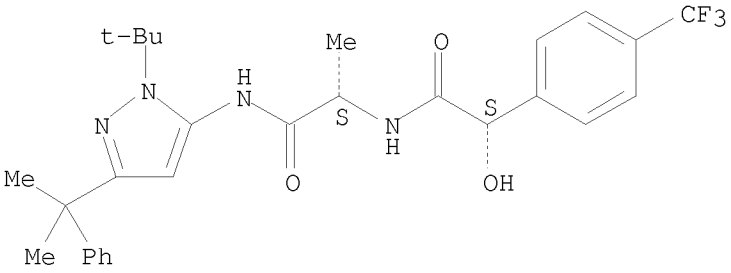
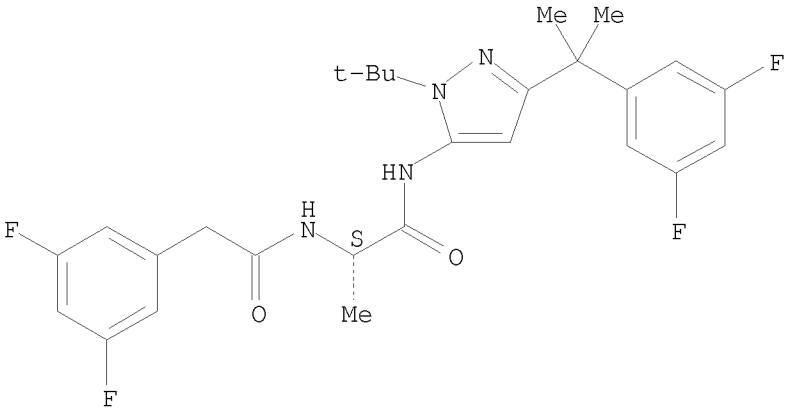
Absolute stereochemistry.



RN 834911-23-8 HCAPLUS
CN Benzeneacetamide, N-[(1S)-2-[[3-[1-(3,5-difluorophenyl)-1-methylethyl]-1-(1,1-dimethylethyl)-1H-pyrazol-5-yl]amino]-1-methyl-2-oxoethyl]-3,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 834911-24-9 HCAPLUS
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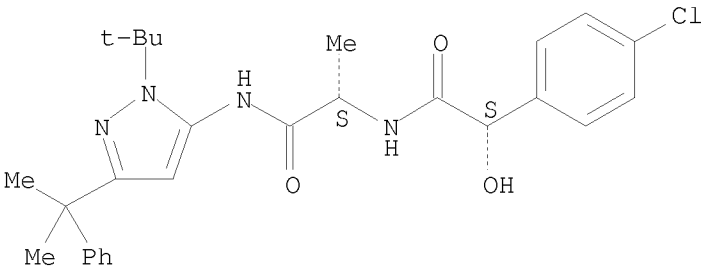
Absolute stereochemistry.



RN 834911-27-2 HCAPLUS
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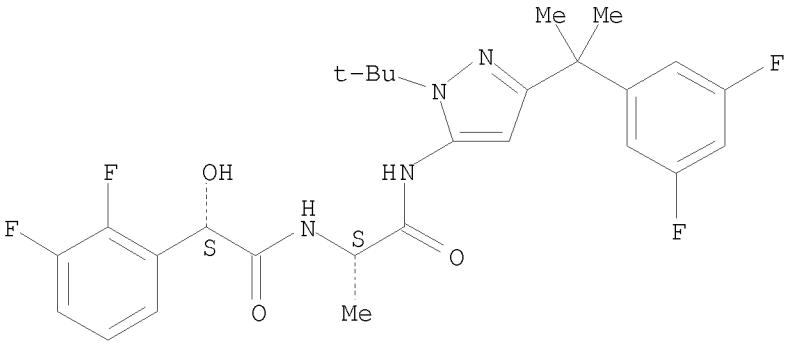
Absolute stereochemistry.



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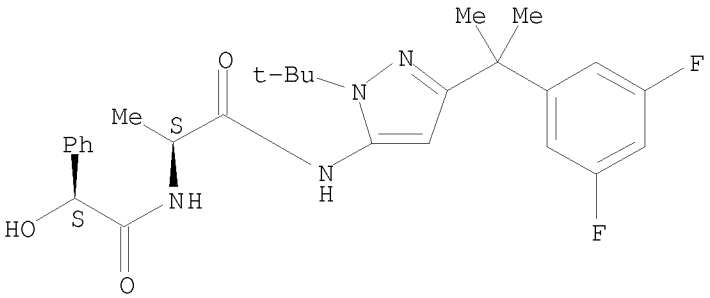
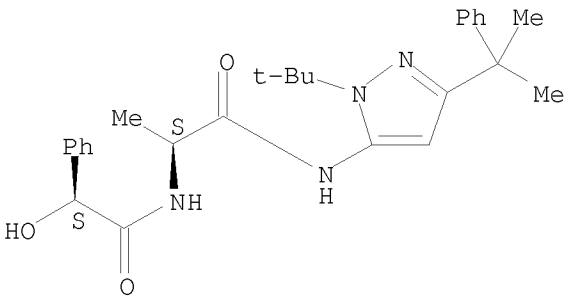
Absolute stereochemistry.



RN 834911-29-4 HCAPLUS
CN Benzeneacetamide, N-[(1S)-2-[[1-(1,1-dimethylethyl)-3-(1-methyl-1-phenylethyl)-1H-pyrazol-5-yl]amino]-1-methyl-2-oxoethyl]-α-hydroxy-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	26.18	217.94

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.85	-0.85

FILE 'REGISTRY' ENTERED AT 16:58:22 ON 03 FEB 2010

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 FEB 2010 HIGHEST RN 1204474-62-3
DICTIONARY FILE UPDATES: 2 FEB 2010 HIGHEST RN 1204474-62-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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http://www.cas.org/support/stngen/stndoc/properties.html

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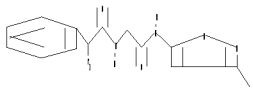
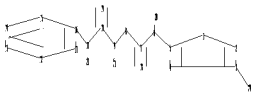
Uploading C:\Program Files\Stnexp\Queries\10559823a.str





chain nodes :
6 7 8 9 10 11 18 19 20 21 23 24
ring nodes :
1 2 3 4 5 12 13 14 15 16 17
chain bonds :
3-24 5-6 6-7 6-20 7-8 7-18 8-9 9-10 9-21 10-11 10-19 11-16 11-23
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exact/norm bonds :
1-2 1-5 2-3 5-6 6-7 7-18 8-9 9-10 10-19 11-23
exact bonds :
3-4 3-24 4-5 6-20 7-8 9-21 10-11 11-16
normalized bonds :
12-13 12-17 13-14 14-15 15-16 16-17
isolated ring systems :
containing 1 : 12 :

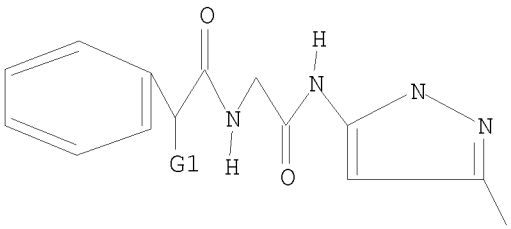
G1:H,OH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS
19:CLASS 20:CLASS 21:CLASS 23:CLASS 24:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS

L5 STR



G1 H,OH

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -       50 TO ITERATE

100.0% PROCESSED       50 ITERATIONS                                 7 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          576 TO     1424
PROJECTED ANSWERS:               7 TO      298

L6             7 SEA SSS SAM L5

=> s l5 sss full
FULL SEARCH INITIATED 16:58:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      891 TO ITERATE

100.0% PROCESSED      891 ITERATIONS                                89 ANSWERS
SEARCH TIME: 00.00.01

L7            89 SEA SSS FUL L5

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     192.03     409.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                       0.00      -0.85

FILE 'HCAPLUS' ENTERED AT 16:59:16 ON 03 FEB 2010
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FILE COVERS 1907 - 3 Feb 2010 VOL 152 ISS 6
FILE LAST UPDATED: 2 Feb 2010 (20100202/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 2 L7

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.82	415.79

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-0.85

FILE 'REGISTRY' ENTERED AT 17:00:32 ON 03 FEB 2010
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 2 FEB 2010 HIGHEST RN 1204474-62-3
DICTIONARY FILE UPDATES: 2 FEB 2010 HIGHEST RN 1204474-62-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information

on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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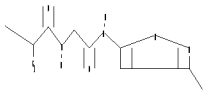

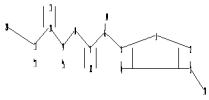

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ring nodes :
1 2 3 4 5
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ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 5-6 6-7 7-12 8-9 9-10 10-13 11-17
exact bonds :
3-4 3-18 4-5 6-14 7-8 9-15 10-11 11-20
isolated ring systems :
containing 1 :

G1:H,OH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 17:CLASS 18:CLASS
20:CLASS

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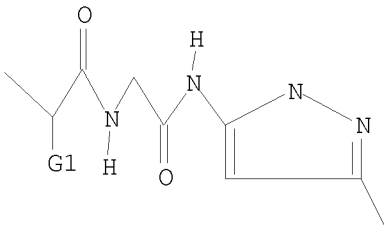
L9 HAS NO ANSWERS
L9 STR



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Structure attributes must be viewed using STN Express query preparation.

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        12432 TO    15608
PROJECTED ANSWERS:               3 TO      163

L10           3 SEA SSS SAM L9

=> s l9 sss full
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100.0% PROCESSED    13727 ITERATIONS                             61 ANSWERS
SEARCH TIME: 00.00.01

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=> FIL HCAPLUS
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                                                   ENTRY    SESSION
FULL ESTIMATED COST                             191.54     607.33

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)    SINCE FILE      TOTAL
                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                               0.00      -0.85

FILE 'HCAPLUS' ENTERED AT 17:01:06 ON 03 FEB 2010
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FILE COVERS 1907 - 3 Feb 2010 VOL 152 ISS 6
FILE LAST UPDATED: 2 Feb 2010 (20100202/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

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=> d his

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L3          10 S L1 SSS FULL

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L4           1 S L3

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L5             STRUCTURE UPLOADED
L6           7 S L5
L7          89 S L5 SSS FULL

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L8           2 S L7

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L9             STRUCTURE UPLOADED
L10          3 S L9
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L14           2 L12 AND PY<=2003

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L14  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         1998:719162  HCAPLUS
DOCUMENT NUMBER:          129:343720
ORIGINAL REFERENCE NO.:   129:70017a,70020a
TITLE:                    Preparation of linear dolastatin peptides as antitumor
                          agents
INVENTOR(S):              Haupt, Andreas; Emling, Franz; Romerdahl, Cynthia
PATENT ASSIGNEE(S):       Basf Aktiengesellschaft, Germany
SOURCE:                   U.S., 47 pp., Cont.-in-part of U.S. Ser. No. 431,795,
                          abandoned.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   4
PATENT INFORMATION:

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     SG 69983             A1    20000125    SG 1996-9082            19930510 <--
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         RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
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     HU 9801817           A3    19990628
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IN 1996MA00954	A	20050304	IN 1996-MA954	19960603	
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JP 2004149538	A	20040527	JP 2003-384393	20031113	

PRIORITY APPLN. INFO.:

US 1992-885788	B2	19920520
US 1992-985696	B1	19921125
US 1995-431795	B2	19950501
JP 1993-519851	A3	19930510
US 1995-472453	A	19950607
WO 1996-EP2392	W	19960603
WO 1996-EP2393	W	19960603

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 129:343720

AB Novel peptides R1R2NHCHXCO-A-B-D-(E)s-(F)t-(G)u-K [I; R1 = alkoxy, alkyl, cycloalkyl, alkylsulfonyl, fluoroalkyl, (un)substituted aminosulfonyl; OH, (un)substituted benzyl; R2 = H, alkyl, fluoroalkyl, cycloalkyl; R1R2N = (un)substituted 5- or 6-membered heterocycle; A = Val, Ile, Leu, allo-Ile, Aib, cyclopropylglycyl, cyclopentylglycyl, neopentylglycyl, tert-butylglycyl, 3-cyclohexylalanyl, ethylglycyl, cyclohexylglycyl, Nle, Nva; B = N-alkyl-valyl, -norvalyl, -leucyl, -isoleucyl, -tert-butylglycyl, -neopentylglycyl, -ethylglycyl, -cyclopentylglycyl, -norleucyl, -cyclohexylglycyl; D, E = independently Pro, homoprolyl, Hyp, 3,4-dehydroprolyl, 4-fluoroprolyl, 3-methylprolyl, 4-methylprolyl, 5-methylprolyl, azetidine-2-carbonyl, 3,3-dimethylprolyl, 4,4-difluoroprolyl, oxazolidine-4-carbonyl, thiazolidine-4-carbonyl; F, G = independently Pro, homoprolyl, Hyp, thiazolidinyl-4-carbonyl,

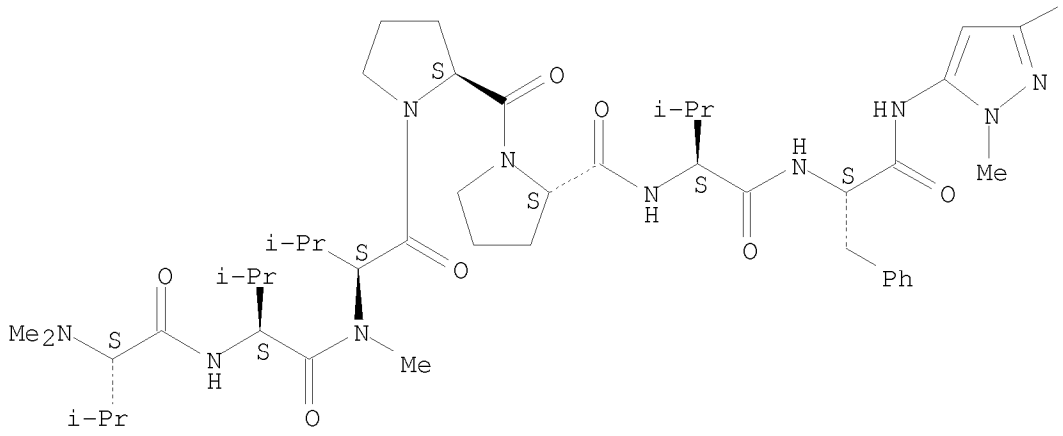
1-aminopentyl-1-carbonyl, Val, tert-butylglycyl, Ile, Leu, 3-cyclohexylalanyl, Phe, N-MePhe, tetrahydroisoquinoline-2-carbonyl, 3-thiazolylalanyl, 3-thienylalanyl, His, 1-aminoindanyl-1-carbonyl, 3-pyridylalanyl, cyclohexylglycyl, Nle, Nva, neopentylglycyl, Trp, Gly, Ala, β-Ala, 3-naphthylalanyl; X = H, alkyl, cycloalkyl, cyclohexylmethyl, arylalkyl; s, t, u = independently 0, 1; K = OH, alkoxy, PhO, PhCH2O, (un)substituted amino] and the salts thereof with physiol. tolerated acids are described as antitumor agents. Thus, methylated heptapeptide amide I was prepared by both solid-phase and solution methods. I showed anticancer activity by the crystal violet assay for cytotoxicity with IC50 = 9 + 10-8 M.

IT 1099220-66-2
RL: PRPH (Prophetic)
(Preparation of linear dolastatin peptides as antitumor agents)

RN 1099220-66-2 HCAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

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OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1982:563463 HCAPLUS
DOCUMENT NUMBER: 97:163463
ORIGINAL REFERENCE NO.: 97:27281a,27284a
TITLE: Amides of amino acids and peptides as antifungal substances
AUTHOR(S): Giori, P.; Vertuani, G.; Mazzotta, D.; Guarneri, M.;

Pancaldi, D.; Brunelli, A.
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Ferrara, Ferrara, Italy
SOURCE: Farmaco, Edizione Scientifica (1982), 37(7), 450-8
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: Italian
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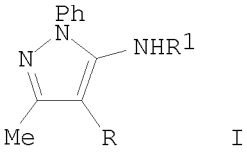
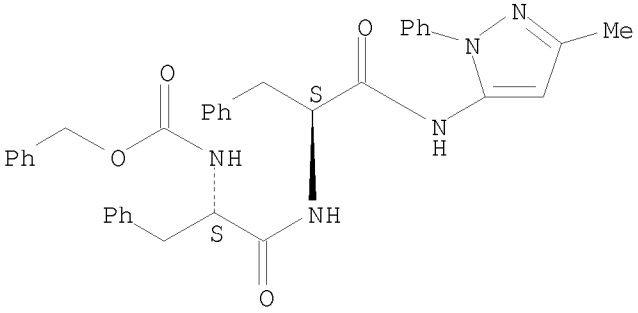


I

AB Pyrazolyl-substituted amides I (R = H, thiocyanato; R1 = amino acid or peptide residue) were prepared by standard reactions starting from 5-amino-3-methyl-1-phenylpyrazole. Some I showed antifungal activity.

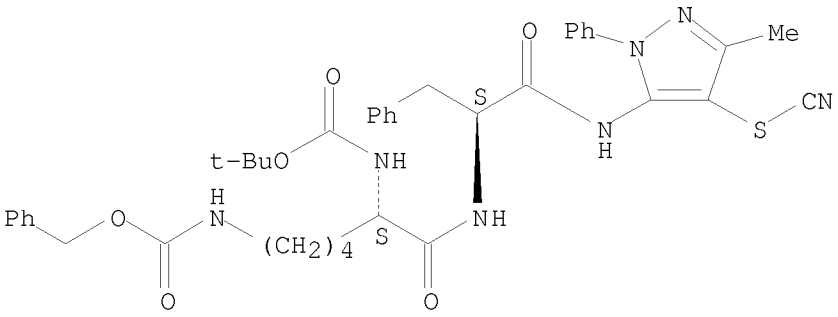
IT 83361-28-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and deblocking and of)
RN 83361-28-8 HCAPLUS
CN L-Phenylalaninamide, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-N-(3-methyl-1-phenyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 83361-34-6P 83361-35-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
RN 83361-34-6 HCAPLUS
CN L-Phenylalaninamide, N2-[(1,1-dimethylethoxy)carbonyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl-N-(3-methyl-1-phenyl-4-thiocyanato-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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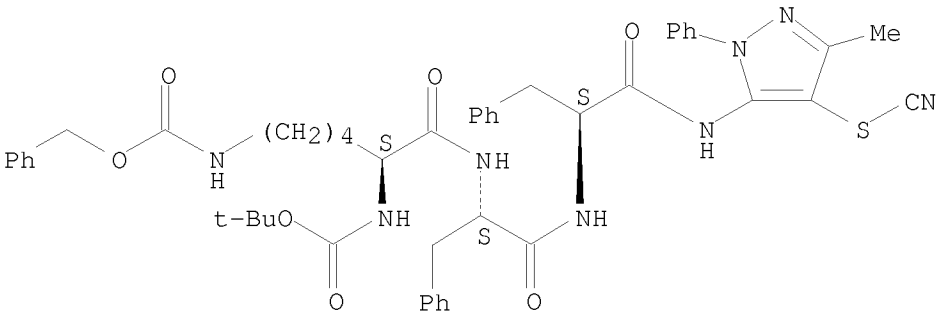
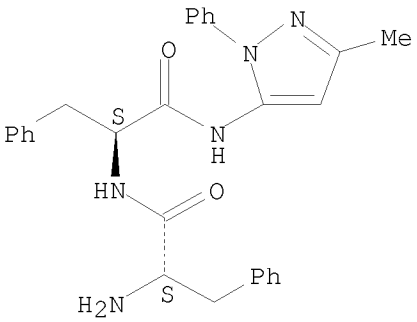
Absolute stereochemistry.



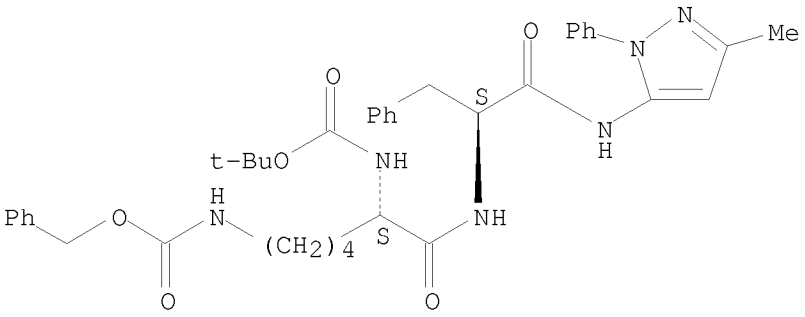
IT 83361-44-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling of, with lysine derivative)
RN 83361-44-8 HCAPLUS
CN L-Phenylalaninamide, L-phenylalanyl-N-(3-methyl-1-phenyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



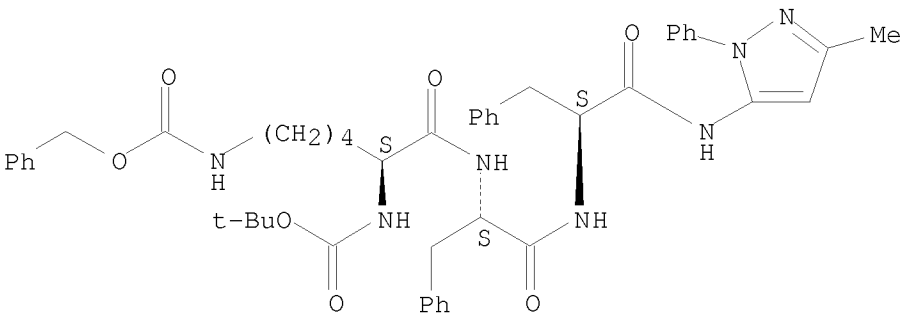
IT 83361-27-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thiocyanation of)
RN 83361-27-7 HCAPLUS
CN L-Phenylalaninamide, N2-[(1,1-dimethylethoxy)carbonyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl-N-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 83361-29-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thiocyanation, and fungicidal activity of)
RN 83361-29-9 HCAPLUS
CN L-Phenylalaninamide, N2-[(1,1-dimethylethoxy)carbonyl]-N6-[(phenylmethoxy)carbonyl]-L-lysyl-L-phenylalanyl-N-(3-methyl-1-phenyl-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 83361-40-4P 83361-41-5P
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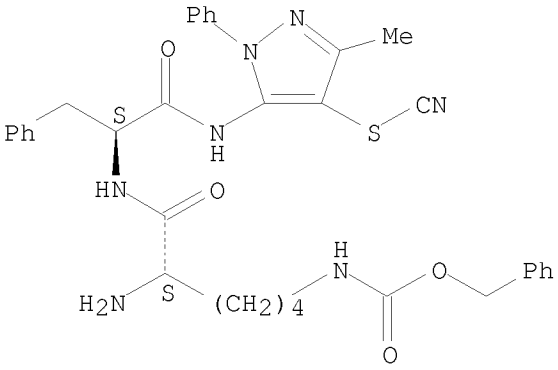
(preparation of)
RN 83361-40-4 HCAPLUS
CN L-Phenylalaninamide, N6-[(phenylmethoxy)carbonyl]-L-lysyl-N-(3-methyl-1-phenyl-4-thiocyanato-1H-pyrazol-5-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 83361-41-5 HCAPLUS
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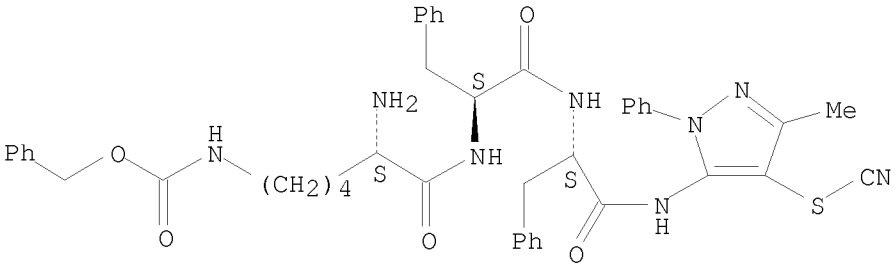
Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

=> d l8 ibib abs tot

L8 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:99305 HCAPLUS
DOCUMENT NUMBER: 142:177127
TITLE: Preparation of acylated amino acid amidyl pyrazoles and related compounds
INVENTOR(S): Tung, Jay S.; Garofalo, Albert; Pleiss, Mike A.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Dressen, Darren; Guinn, Ashley C.; Jenkins, Scott A.; Latimer, Lee H.; Sealy, Jennifer
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005009344		A2	20050203	WO 2004-US18202	20040604
WO 2005009344		A3	20051006		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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JP 2006526621		T	20061124	JP 2006-509087	20040604
JP 4220548		B2	20090204		
US 20070197624		A1	20070823	US 2007-559823	20070301

PRIORITY APPLN. INFO.: US 2003-476369P P 20030605
WO 2004-US18202 W 20040604

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:177127; MARPAT 142:177127

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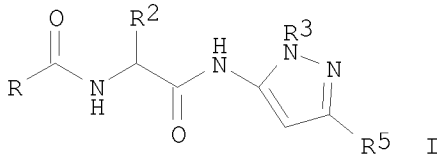

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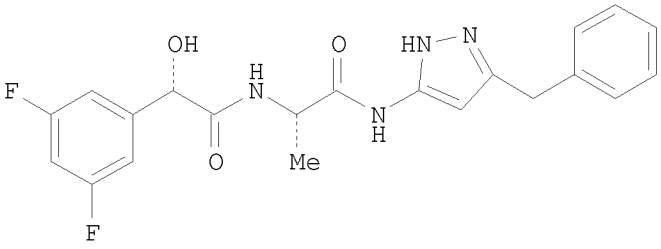

II

AB The invention relates to acylated amino acid amidyl pyrazoles and related compds. I [R is (un)substituted aryl, cycloalkyl, heterocyclyl, alkoxy, cycloalkoxy, aryloxy, heteroaryloxy, alkylamino, cycloaklylamino, arylamino, heteroarylamino or R1-Z-CX'X''-, where X', X'' are independently H, OH or F (provided that when one of X' and X'' is F, the other is not OH) or X'X'' is an oxo group, Z is alkyl, nitrogen, oxygen, sulfur or a bond and R1 is H, (un)substituted alkyl, alkenyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl or heterocyclyl; R2 is H, alkyl, alkylalkoxy, alkylthioalkoxy, CO2H or an ester; R3 is H, (un)substituted

alkyl, cycloalkyl or phenyl; R5 is -Y-R6, where Y is (un)substituted alkyl, alkenyl, aryl, cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic or a bond and R6 is (un)substituted aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aryl oxide, heteroaryl N-oxide or aryl sulfide (provided that when Y is a bond, then R6 is cycloalkyl or R2 is alkylalkoxy or alkylthioalkoxy)] or their pharmaceutically-acceptable salts, which are useful in the prevention and treatment of Alzheimer's disease. The invention is further directed to a method for inhibiting β-amyloid peptide release and/or synthesis, for inhibiting γ-secretase activity, and for treating neurol. disorders associated with β-amyloid peptide production Thus, compound II was prepared was prepared by a multistep procedure starting from Boc-protected 4-phenyl-4-piperidinecarboxylic acid. The pyrazole ring was formed by reaction of a 4-(cyanoacetyl)-4-piperidine derivative with tert-BuNHNH2.HCl.

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:333701 HCAPLUS

DOCUMENT NUMBER: 140:357664

TITLE: Preparation of amino acid pyrazolylamides for treatment of neurodegenerative disorders

INVENTOR(S): Allen, Martin Patrick; Chen, Yuhpyng L.; Liras, Spiros; Rosati, Robert L.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033434	A1	20040422	WO 2003-IB4252	20030926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2501799	C	20080617		
AU 2003263518	A1	20040504	AU 2003-263518	20030926
EP 1551809	A1	20050713	EP 2003-807922	20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015158	A	20050816	BR 2003-15158	20030926
JP 2006504725	T	20060209	JP 2004-542713	20030926
US 20040142997	A1	20040722	US 2003-680488	20031007
US 7238721	B2	20070703		

MX 2005003432	A	20050705	MX 2005-3432		20050331
US 20070270474	A1	20071122	US 2007-772702		20070702
US 7521464	B2	20090421			
PRIORITY APPLN. INFO.:			US 2002-417151P	P	20021009
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OTHER SOURCE(S): MARPAT 140:357664
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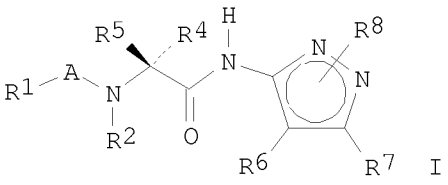

I

AB The invention provides compds. I [A is COCO, C(O)Z, C(S)Z, C(:NR5)Z, or SO2, where Z is CH2, CH(OH), CH(NH2), CH(CH2OH), etc. and R5 is (un)substituted alkyl or aryl; R1 is alkyl, alkoxy, cycloalk(en)yl, bi- or tricycloalkyl, heterocycloalkyl, (hetero)aryl, etc.; R2 is H, (un)substituted alkyl which may be unsatd., alkanoyl, aryl- or arylmethylsulfonyl; R3 is (un)substituted alk(en)(yn)yl or cycloalk(en)ylalkyl; R4 is H, D, F or alkyl; R6, R7, R8 are H, alkyl, halo, CN, etc. or R6 and R7 may form rings (with provisos)] which inhibit the production of Aβ-peptide and pharmaceutical compns. for treating diseases, e.g., Alzheimer's disease. Thus, 2-[[(3,5-difluorophenyl)acetyl]amino]pentanoic acid (5-phenyl-2H-pyrazol-3-yl)amide was prepared by amidation of 2-[[(3,5-difluorophenyl)acetyl]amino]pentanoic acid, which was obtained from L-norvaline.

OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L12 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:1385819 HCAPLUS
DOCUMENT NUMBER: 152:119490
TITLE: Susceptibility of Methyl 3-Amino-1H-pyrazole-5-carboxylate to Acylation
AUTHOR(S): Kusakiewicz-Dawid, Anna; Gorecki, Lukasz; Masiukiewicz, Elzbieta; Rzeszotarska, Barbara
CORPORATE SOURCE: Institute of Chemistry, University of Opole, Opole, 45-052, Pol.
SOURCE: Synthetic Communications (2009), 39(22), 4122-4132 CODEN: SYNCAV; ISSN: 0039-7911
PUBLISHER: Taylor & Francis, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 152:119490
AB In the search for a new method of synthesis of hybrid peptides with

aminopyrazole carboxylic acid, a selectivity of acylation at the aromatic amino group instead of at the ring nitrogen atom with fairly gentle acylating agents was investigated. The acylating agents used were acid anhydrides, such as acetic anhydride, tert-Bu pyrocarbonate, and 2-(2-methoxyethoxy)ethoxyacetic acid/dicyclohexylcarbodiimide. The acylation with these agents was found to occur almost exclusively at the side amino group. When Boc2O was used as acylating agent, the ring nitrogen acylated compound was obtained as a byproduct in small quantities and was removed using imidazole. This procedure was applied to the synthesis of some pyrazole-containing peptides without protection of the pyrazole ring nitrogen.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2007:413323 HCAPLUS
DOCUMENT NUMBER: 147:73036
TITLE: Synthesis and Binding Studies of Alzheimer Ligands on Solid Support
AUTHOR(S): Rzepecki, Petra; Geib, Nina; Peifer, Manuel; Biesemeier, Frank; Schrader, Thomas
CORPORATE SOURCE: Fachbereich Chemie, Universitaet Marburg, Marburg, 35032, Germany
SOURCE: Journal of Organic Chemistry (2007), 72(10), 3614-3624
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:73036
AB Aminopyrazole derivs. constitute the first class of nonpeptidic rationally designed β-sheet ligands. Here, the authors describe a double solid-phase protocol for both synthesis and affinity testing. The presented solid-phase synthesis of four types of hybrid compds. relies on the Fmoc strategy and circumvents subsequent HPLC purification by precipitating the final product from organic solution in pure form. Hexa- and octapeptide pendants with internal di- and tetrapeptide bridges are now amenable in high yields to combinatorial synthesis of compound libraries for high-throughput screening purposes. Solid-phase peptide synthesis (SPPS) on an acid-resistant PAM resin allowed the authors, after Pmb (p-methoxybenzyl) deprotection, to subject the free aminopyrazole binding sites in an immobilized state to on-bead assays with fluorescent peptides. From the fluorescence emission intensity decrease, individual binding consts. can be calculated via reference curves by simple application of the law of mass action. Gratifyingly, host/guest complexation can be monitored quant. even for those ligands, which are almost insol. in water.
OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:99305 HCAPLUS
DOCUMENT NUMBER: 142:177127
TITLE: Preparation of acylated amino acid amidyl pyrazoles and related compounds

INVENTOR(S): Tung, Jay S.; Garofalo, Albert; Pleiss, Mike A.
PATENT ASSIGNEE(S): Elan Pharmaceuticals, Inc., USA; Dressen, Darren; Guinn, Ashley C.; Jenkins, Scott A.; Latimer, Lee H.; Sealy, Jennifer
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009344	A2	20050203	WO 2004-US18202	20040604
WO 2005009344	A3	20051006		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2004258841	B2	20091008		
CA 2528496	A1	20050203	CA 2004-2528496	20040604
EP 1633350	A2	20060315	EP 2004-776373	20040604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006526621	T	20061124	JP 2006-509087	20040604
JP 4220548	B2	20090204		
US 20070197624	A1	20070823	US 2007-559823	20070301
PRIORITY APPLN. INFO.:			US 2003-476369P P	20030605
			WO 2004-US18202 W	20040604

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 142:177127; MARPAT 142:177127
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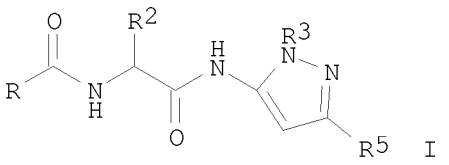

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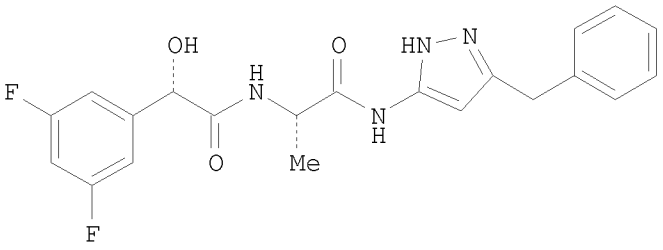

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L12 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:333701 HCAPLUS

DOCUMENT NUMBER: 140:357664

TITLE: Preparation of amino acid pyrazolylamides for treatment of neurodegenerative disorders

INVENTOR(S): Allen, Martin Patrick; Chen, Yuhpyng L.; Liras, Spiros; Rosati, Robert L.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CA 2501799	C	20080617		
AU 2003263518	A1	20040504	AU 2003-263518	20030926
EP 1551809	A1	20050713	EP 2003-807922	20030926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
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JP 2006504725	T	20060209	JP 2004-542713	20030926
US 20040142997	A1	20040722	US 2003-680488	20031007
US 7238721	B2	20070703		
MX 2005003432	A	20050705	MX 2005-3432	20050331
US 20070270474	A1	20071122	US 2007-772702	20070702
US 7521464	B2	20090421		

PRIORITY APPLN. INFO.:	US 2002-417151P	P	20021009
	WO 2003-IB4252	W	20030926
	US 2003-680488	A1	20031007

OTHER SOURCE(S): MARPAT 140:357664
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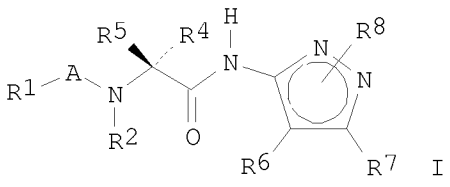

I

AB The invention provides compds. I [A is COCO, C(O)Z, C(S)Z, C(:NR5)Z, or SO2, where Z is CH2, CH(OH), CH(NH2), CH(CH2OH), etc. and R5 is (un)substituted alkyl or aryl; R1 is alkyl, alkoxy, cycloalk(en)yl, bi- or tricycloalkyl, heterocycloalkyl, (hetero)aryl, etc.; R2 is H, (un)substituted alkyl which may be unsatd., alkanoyl, aryl- or arylmethylsulfonyl; R3 is (un)substituted alk(en)(yn)yl or cycloalk(en)ylalkyl; R4 is H, D, F or alkyl; R6, R7, R8 are H, alkyl, halo, CN, etc. or R6 and R7 may form rings (with provisos)] which inhibit the production of Aβ-peptide and pharmaceutical compns. for treating

diseases, e.g., Alzheimer's disease. Thus, 2-[[(3,5-difluorophenyl)acetyl]amino]pentanoic acid (5-phenyl-2H-pyrazol-3-yl)amide was prepared by amidation of 2-[[(3,5-difluorophenyl)acetyl]amino]pentanoic acid, which was obtained from L-norvaline.

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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 1998:719162 HCAPLUS
DOCUMENT NUMBER: 129:343720
ORIGINAL REFERENCE NO.: 129:70017a,70020a
TITLE: Preparation of linear dolastatin peptides as antitumor agents
INVENTOR(S): Haupt, Andreas; Emling, Franz; Romerdahl, Cynthia
PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany
SOURCE: U.S., 47 pp., Cont.-in-part of U.S. Ser. No. 431,795, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5831002	A	19981103	US 1995-472453	19950607
SG 69983	A1	20000125	SG 1996-9082	19930510
IN 177307	A1	19961228	IN 1993-MA318	19930511
TW 391968	B	20000601	TW 1993-82103919	19930518
CA 2219818	A1	19961219	CA 1996-2219818	19960603
CA 2219818	C	20080520		
CA 2219819	A1	19961219	CA 1996-2219819	19960603
CA 2219819	C	20080520		
WO 9640751	A1	19961219	WO 1996-EP2392	19960603
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WO 9640752	A1	19961219	WO 1996-EP2393	19960603
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AU 9661241	A	19961230	AU 1996-61241	19960603
AU 725164	B2	20001005		
AU 9661242	A	19961230	AU 1996-61242	19960603
AU 725170	B2	20001005		
EP 832104	A1	19980401	EP 1996-918660	19960603
EP 832104	B1	20020904		
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CN 1187198	A	19980708	CN 1996-194467	19960603
CN 1182154	C	20041229		
CN 1187199	A	19980708	CN 1996-194468	19960603
CN 1182153	C	20041229		
EP 871656	A1	19981021	EP 1996-918661	19960603

EP 871656		B1	20020925			
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HU 9801817		A2	19981130	HU 1998-1817		19960603
HU 9801817		A3	19990628			
HU 9801910		A2	19990128	HU 1998-1910		19960603
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JP 11504652		T	19990427	JP 1997-500131		19960603
JP 3957751		B2	20070815			
JP 11504653		T	19990427	JP 1997-500132		19960603
JP 4221062		B2	20090212			
BR 9609423		A	19990629	BR 1996-9423		19960603
BR 9609424		A	20000328	BR 1996-9424		19960603
IL 122215		A	20010826	IL 1996-122215		19960603
SK 282466		B6	20020205	SK 1997-1653		19960603
SK 282467		B6	20020205	SK 1997-1654		19960603
IL 122216		A	20020210	IL 1996-122216		19960603
AT 223431		T	20020915	AT 1996-918660		19960603
AT 224910		T	20021015	AT 1996-918661		19960603
PT 832104		E	20021231	PT 1996-918660		19960603
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ES 2186783		T3	20030516	ES 1996-918660		19960603
ES 2188759		T3	20030701	ES 1996-918661		19960603
PL 185762		B1	20030731	PL 1996-323723		19960603
PL 185763		B1	20030731	PL 1996-323726		19960603
RO 118953		B1	20040130	RO 1997-2264		19960603
CZ 293682		B6	20040714	CZ 1997-3763		19960603
CZ 293683		B6	20040714	CZ 1997-3765		19960603
IN 1996MA00954		A	20050304	IN 1996-MA954		19960603
IN 1996MA00955		A	20050304	IN 1996-MA955		19960603
RO 119783		B1	20050330	RO 1997-2254		19960603
ZA 9604710		A	19971208	ZA 1996-4710		19960606
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NO 9705711		A	19980130	NO 1997-5711		19971205
NO 317670		B1	20041129			
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NO 318384		B1	20050314			
JP 2004149538		A	20040527	JP 2003-384393		20031113
PRIORITY APPLN. INFO.:				US 1992-885788	B2	19920520
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				WO 1996-EP2392	W	19960603
				WO 1996-EP2393	W	19960603

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 129:343720
AB Novel peptides R1R2NHCHXCO-A-B-D-(E)s-(F)t-(G)u-K [I; R1 = alkoxy, alkyl, cycloalkyl, alkylsulfonyl, fluoroalkyl, (un)substituted aminosulfonyl; OH, (un)substituted benzyl; R2 = H, alkyl, fluoroalkyl, cycloalkyl; R1R2N = (un)substituted 5- or 6-membered heterocycle; A = Val, Ile, Leu, allo-Ile, Aib, cyclopropylglycyl, cyclopentylglycyl, neopentylglycyl, tert-butylglycyl, 3-cyclohexylalanyl, ethylglycyl, cyclohexylglycyl, Nle, Nva; B = N-alkyl-valyl, -norvalyl, -leucyl, -isoleucyl, -tert-butylglycyl, -neopentylglycyl, -ethylglycyl, -cyclopentylglycyl, -norleucyl,

-cyclohexylglycyl; D, E = independently Pro, homoprolyl, Hyp, 3,4-dehydroprolyl, 4-fluoroprolyl, 3-methylprolyl, 4-methylprolyl, 5-methylprolyl, azetidine-2-carbonyl, 3,3-dimethylprolyl, 4,4-difluoroprolyl, oxazolidine-4-carbonyl, thiazolidine-4-carbonyl; F, G = independently Pro, homoprolyl, Hyp, thiazolidinyl-4-carbonyl, 1-aminopentyl-1-carbonyl, Val, tert-butylglycyl, Ile, Leu, 3-cyclohexylalanyl, Phe, N-MePhe, tetrahydroisoquinoline-2-carbonyl, 3-thiazolylalanyl, 3-thienylalanyl, His, 1-aminoindanyl-1-carbonyl, 3-pyridylalanyl, cyclohexylglycyl, Nle, Nva, neopentylglycyl, Trp, Gly, Ala, β-Ala, 3-naphthylalanyl; X = H, alkyl, cycloalkyl, cyclohexylmethyl, arylalkyl; s, t, u = independently 0, 1; K = OH, alkoxy, PhO, PhCH2O, (un)substituted amino] and the salts thereof with physiol. tolerated acids are described as antitumor agents. Thus, methylated heptapeptide amide I was prepared by both solid-phase and solution methods. I showed anticancer activity by the crystal violet assay for cytotoxicity with IC50 = 9 + 10-8 M.

OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DOCUMENT NUMBER: 97:163463

ORIGINAL REFERENCE NO.: 97:27281a,27284a

TITLE: Amides of amino acids and peptides as antifungal substances

AUTHOR(S): Giori, P.; Vertuani, G.; Mazzotta, D.; Guarneri, M.; Pancaldi, D.; Brunelli, A.

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DOCUMENT TYPE: Journal

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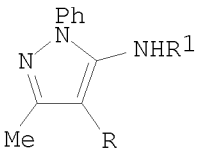

I

AB Pyrazolyl-substituted amides I (R = H, thiocyanato; R1 = amino acid or peptide residue) were prepared by standard reactions starting from 5-amino-3-methyl-1-phenylpyrazole. Some I showed antifungal activity.

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